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Related WPI Acc No: 2002-206017; 2003-278314; 2003-342384; 2003-829456

XRAM Acc no: C2002-066334

**Substituted phenyl oxazolidinone derivatives are useful as antimicrobial agents effect against a nun**

Patent Assignee: ARORA S K (AROR-I); DAS B (DASB-I); MEHTA A (MEHT-I); RANBAXY LAB I S (RUDR-I)

Inventor: ARORA S K; DAS B; MEHTA A; METHA A; RATTAN A; RAY A; RUDRA S; SUDERSH/

Patent Family ( 17 patents, 95 & countries )

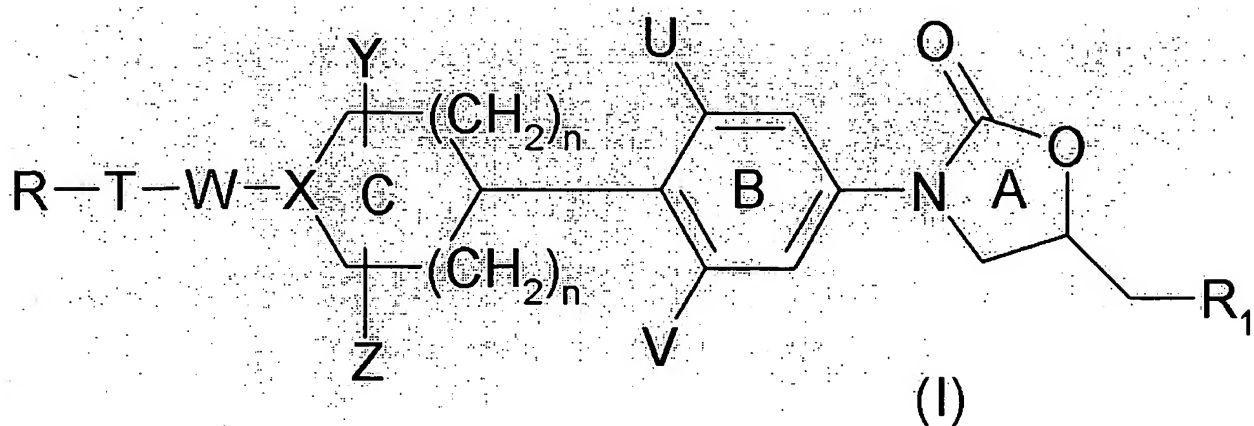
Patent Number	Kind	Date	Application Number	Kind	Date	Update	Type
WO 2002006278	A1	20020124	WO 2001IB1262	A	20010716	200227	B
AU 200169370	A	20020130	AU 200169370	A	20010716	200236	E
US 20020103186	A1	20020801	US 2001906215	A	20010716	200253	E
EP 1303511	A1	20030423	EP 2001947730	A	20010716	200329	E
			WO 2001IB1262	A	20010716		
BR 200112826	A	20030624	BR 200112826	A	20010716	200343	E
			WO 2001IB1262	A	20010716		
CZ 200300228	A3	20030618	WO 2001IB1262	A	20010716	200347	E
			CZ 2003228	A	20010716		
SK 200300140	A3	20030805	WO 2001IB1262	A	20010716	200360	E
			SK 2003140	A	20010716		
CN 1447808	A	20031008	CN 2001814422	A	20010716	200403	E
HU 200302918	A2	20031229	WO 2001IB1262	A	20010716	200413	E
			HU 20032918	A	20010716		
JP 2004504321	W	20040212	WO 2001IB1262	A	20010716	200413	E
			JP 2002512181	A	20010716		
ZA 200300471	A	20040128	ZA 2003471	A	20030117	200420	E
US 6734307	B2	20040511	US 2001906215	A	20010716	200431	E
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AU 2001269370	B2	20051027	AU 2001269370	A	20010716	200623	E
RU 2292345	C2	20070127	WO 2001IB1262	A	20010716	200752	E

Priority Applications (no., kind, date): IN 2000DE654 A 20000717

			RU 2003103767	A	20010716		
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# Alerting Abstract WO A1

NOVELTY - Substituted phenyl oxazolidinone derivatives (I), their salts, enantiomers, diastereomers, N-  
DESCRIPTION - Substituted phenyl oxazolidinone derivatives of formula (I), their salts, enantiomers, di



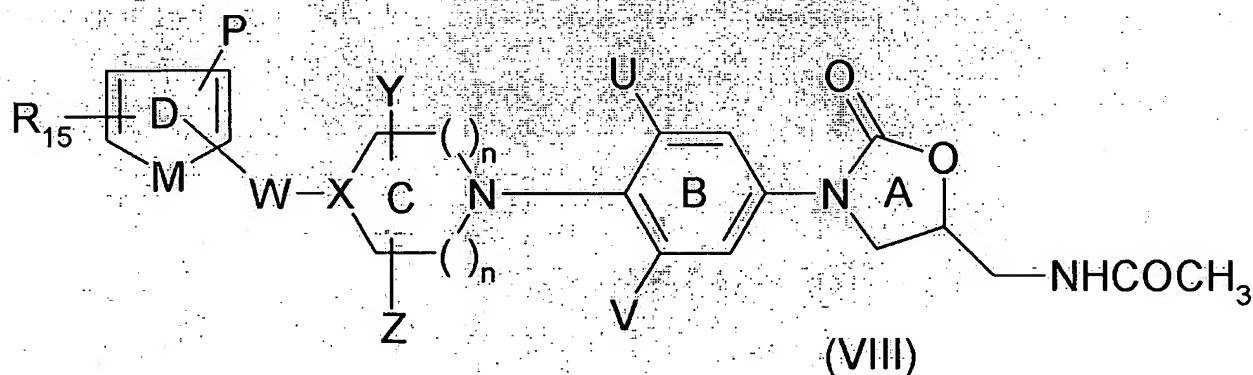
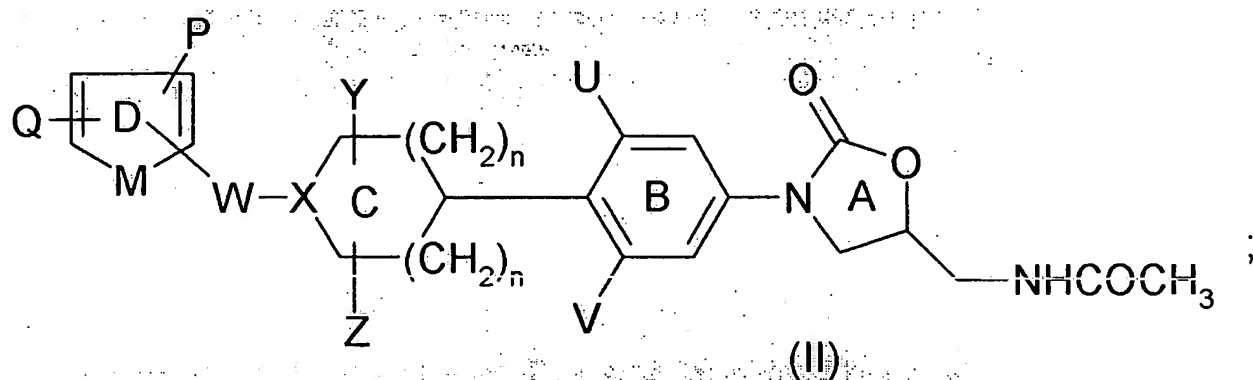
&

- T= 5-7 membered heterocyclic ring, aryl (optionally substituted), bound to the ring C with a linker w and the heterocyclic and aryl rings are further substituted by R;
- R= CN, COR<sub>5</sub>COOR<sub>5</sub>, N(R<sub>6</sub>,R<sub>7</sub>), CON(R<sub>6</sub>,R<sub>7</sub>), CH<sub>2</sub>NO<sub>2</sub>, NO<sub>2</sub>, CH<sub>2</sub>R<sub>8</sub>, CHR<sub>9</sub>, CH=N-OR<sub>10</sub> or C=CH-R<sub>5</sub>;
- R<sub>5</sub>= H, optionally substituted 1-12C alkyl, 3-12C cycloalkyl, aryl or heteroaryl;
- R<sub>6</sub>, H, optionally substituted 1-12C alkyl, 3-12C cycloalkyl or 1-6C alkoxy;
- R<sub>7</sub>=
- R<sub>8</sub>, H, 1-6C alkyl, F, Cl, Br, 1-12C alkyl substituted with one or more F, Cl, Br, I, OR<sub>4</sub>, SR<sub>4</sub> or N
- R<sub>9</sub>= (R<sub>6</sub>R<sub>7</sub>);
- R<sub>4</sub>= H, 1-12C alkyl, 3-12C cycloalkyl, 1-6C alkoxy, 1-6C alkyl substituted with one or more F, Cl, I or OH or N(R<sub>6</sub>R<sub>7</sub>);
- R<sub>10</sub>= H, optionally substituted from H, optionally substituted 1-12C alkyl, 3-12C cycloalkyl, 1-6C alkoxyl, 1-6C alkyl, aryl or heteroaryl;
- n= 0-3;
- X= CH, CH-S or N;
- Y, Z= H, 1-6C alkyl, 3-12C cycloalkyl or 0-3C bridging group;
- U, V= 1-6C alkyl, F, Cl, Br, 1-12C alkyl substituted with one or more F, Cl, Br, I (preferably H or F);
- W= CH<sub>2</sub>, CO, CH<sub>2</sub>NH, NHCH<sub>2</sub>, CH<sub>2</sub>NHCH<sub>2</sub>, CH<sub>2</sub>-N(R<sub>11</sub>)CH<sub>2</sub>, CH(R<sub>11</sub>), S, CH<sub>2</sub>(CO), NH;
- R<sub>11</sub>= 1-12C alkyl, 3-12C cycloalkyl, 1-6C alkoxy, 1-6C alkyl, aryl or heteroaryl;
- R<sub>1</sub>= NHC(=O)R<sub>2</sub>;
- R<sub>2</sub>= H, 1-12C alkyl, 3-12C cycloalkyl, 1-6C alkoxy, 1-6C alkyl substituted with one or more F, Cl, Br, I or OH, N(R<sub>3</sub>,R<sub>4</sub>), NR<sub>2</sub>C(=S)R<sub>3</sub> or NR<sub>2</sub>C(=S)SR<sub>3</sub>; and
- R<sub>3</sub>, H, 1-12C alkyl, 3-12C cycloalkyl, 1-6C alkoxy, 1-6C alkyl substituted with one or more F, Cl, Br, I or OH.
- R<sub>4</sub>=

INDEPENDENT CLAIMS are also included for:

1. compounds of formula (II);
2. preparation of (I) by reacting an amine with a heterocyclic compound;
3. preparation of (II);

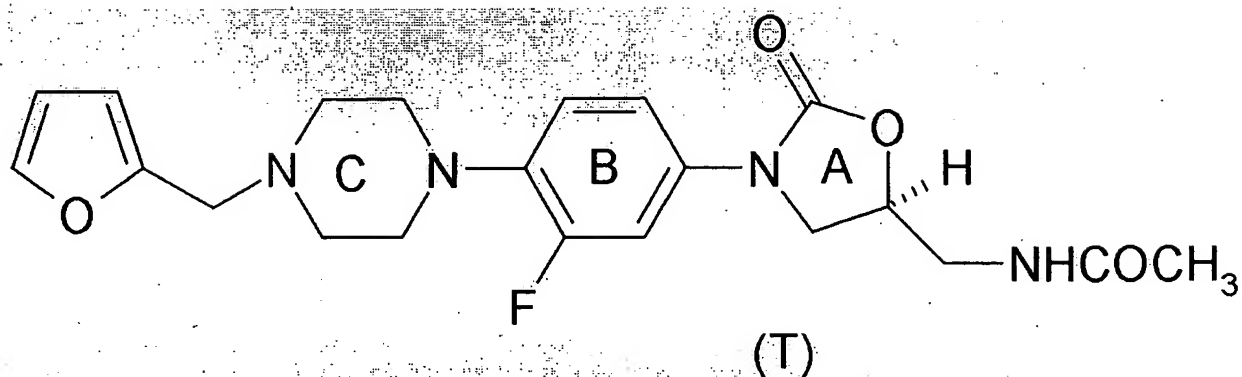
4. preparation of compounds of formula (VIII), by converting a compound of formula (VII) (II; Q = R<sub>14</sub>): R<sub>14</sub> = is any group which can be converted to R<sub>15</sub> in 1-5 steps, R<sub>15</sub> = Q;
5. preparation of compounds of formula (XI) (R<sub>16</sub>-T), by reacting (IX) [(HC=O)-T] with sodium borohydride to give (X) (HOCH<sub>2</sub>-T) and further reacting this with diethylamino sulfur trifluoride to give (XI);
6. preparation of compounds of formula (XII) (R<sub>17</sub>-T) by reacting (S)-N-[[3-fluoro-4-[N-1[4-(2-furyl(5-formyl)methyl)]piperazinyl]phenyl]-2-oxo-5-oxazolidinyl-methyl]acetamide with hydroxylamine (IX);
7. preparation of compounds of formula (XIII); or
8. preparation of compounds of formula (XIV) (R<sub>18</sub>-T), by reacting (IX) with Ag<sub>2</sub>O to produce (S)-N-((3-fluoro-4-(N-1(4-(2-furyl(5-carboxy)methyl))piperazinyl)phenyl)-2-oxo-5-oxazolidinyl-methyl)acetamide (XIII) with hydroxylamine; and
9. a composition comprising (I) or (II).



Q, CN, COR<sub>5</sub>, COOR<sub>5</sub>, N (R<sub>6</sub>R<sub>7</sub>), CON (R<sub>6</sub> R<sub>7</sub>), CON (R<sub>6</sub>, R<sub>7</sub>), CH<sub>2</sub>NO<sub>2</sub>, NO<sub>2</sub>, CH<sub>2</sub>R<sub>8</sub>, CHR<sub>9</sub>,  
P= CH=N, OR<sub>10</sub>, C=CH-R<sub>5</sub>;

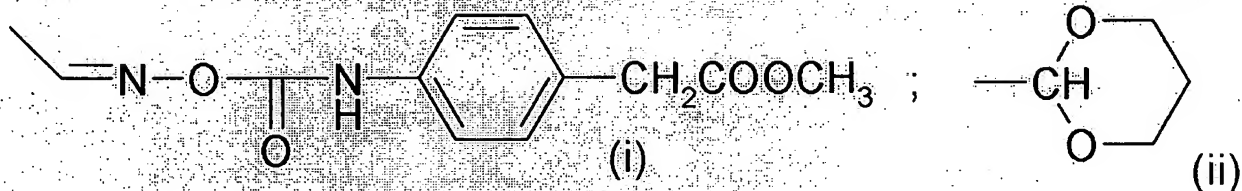
R<sub>10</sub>= H, optionally substituted from H, optionally substituted 1-12C alkyl, 3-512C cycloalkyl, 1-6C alkoxy, 1-6C alkyl, aryl or heteroaryl, except W=(CO), Q and P=H, ring C in formula (II) is 6-8 membered or of larger size and the larger rings have either 2-3C between each N atom;

T=



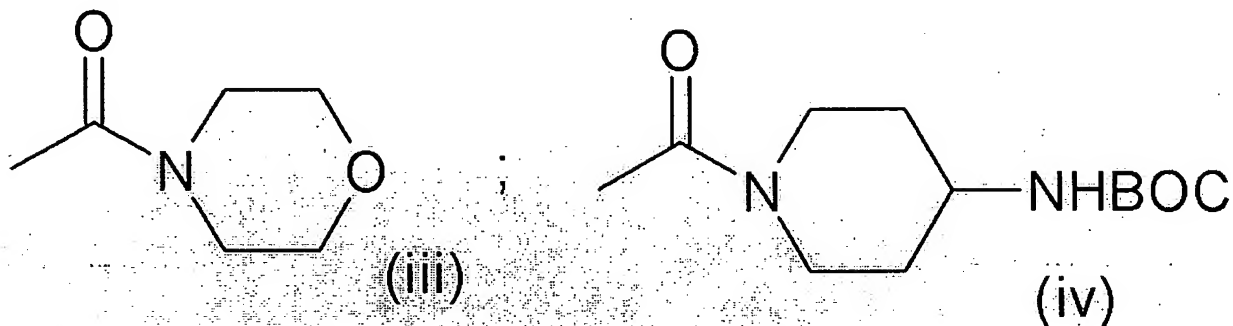
$R_{16} = -CH_2F$  or  $-CH_2F_2$ ;

$R_{17} = CH_3CH=N-NH_2$  or (i) or (ii)



;and

$R_{18} = -(C=O)NH_2$  or (iii) or (iv)



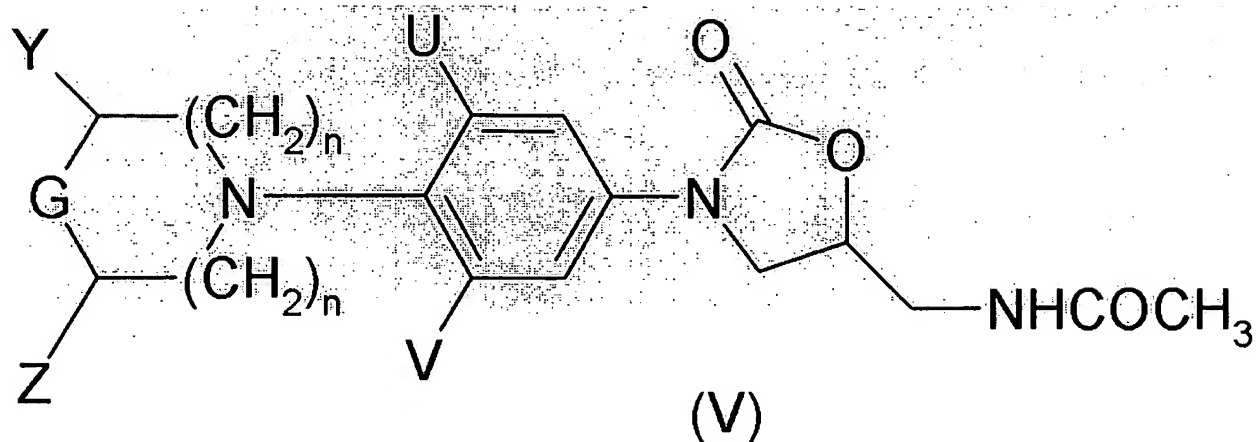
**ACTIVITY** - Antibacterial.

**MECHANISM OF ACTION** - None given.

**USE** - Useful as antimicrobial agents effect against a number of human and veterinary pathogens, including gram positive aerobic bacteria such as multiply-resistant *staphylococci* , *streptococci* or *enterococci* , as well as anaerobic organisms such as *Bacteriodes spp.* and *Clostridia spp.* and acid fast organisms such as *Mycobacterium tuberculosis* , *Mycobacterium avium* or *Mycobacterium spp.*

#### Technology Focus

**ORGANIC CHEMISTRY** - Preferred Method: (I) is prepared by reacting an amine of formula (V) with a heterocyclic compound of formula R-T-W-R<sub>12</sub>:

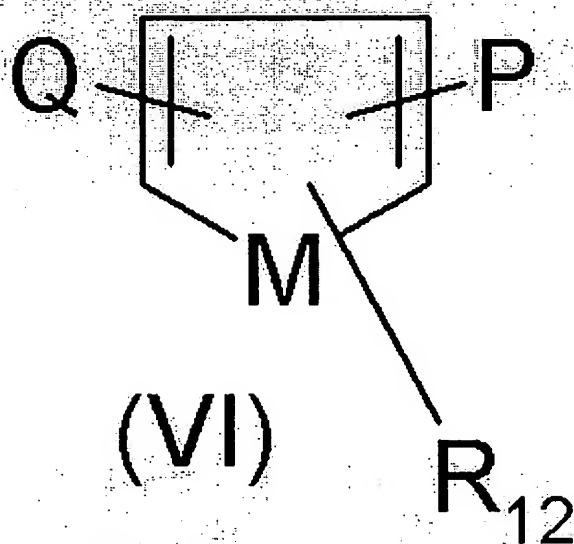


G= NH, CH(NHR<sub>13</sub>), CH-CH<sub>2</sub>NHR<sub>13</sub>;

R<sub>13</sub>=H, ethyl, methyl, isopropyl, acetyl, cyclopropyl, alkoxy or acetyl; and

R<sub>12</sub>= leaving group comprising F, Cl, Br, SCH<sub>3</sub>, SO<sub>2</sub>CH<sub>3</sub>, SO<sub>2</sub>CF<sub>3</sub> or OC<sub>6</sub>H<sub>5</sub>;

(II) is prepared in a suitable solvent selected from dimethylformamide, dimethylacetamide, ethanol or ethylene glycol at -70 to 180(deg)C in the presence of a suitable base selected from triethyl amine, diisopropyl amine, potassium carbonate or sodium bicarbonate, by reacting a compound of formula (V) with a furaldehyde or furoic acid (VI) and reductive alkylation of the amine of (V) is performed with a



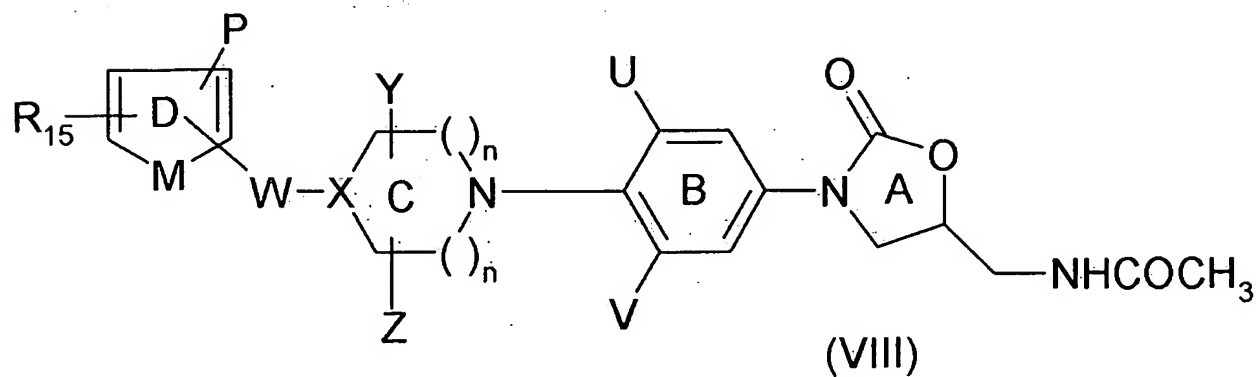
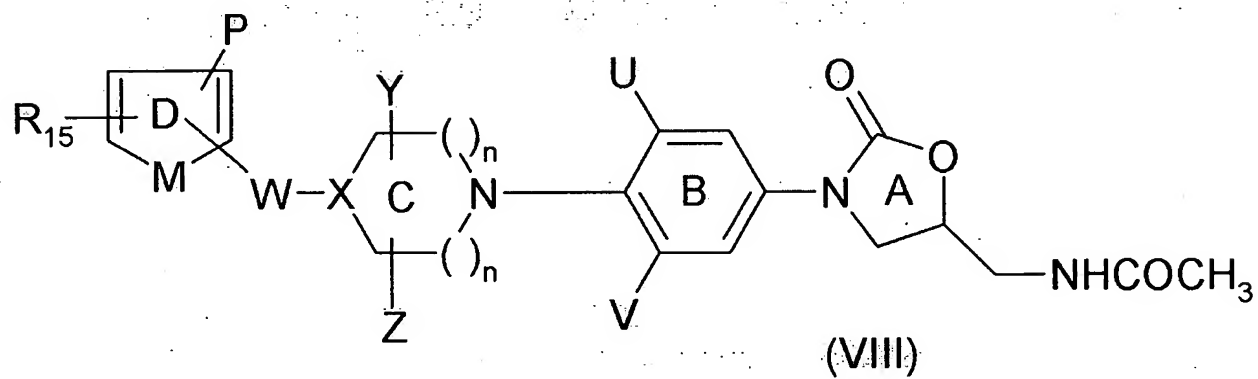
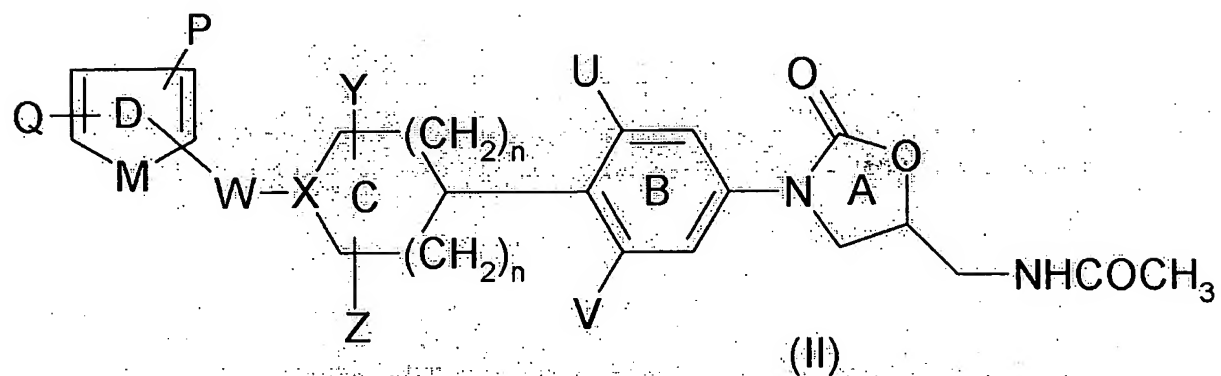
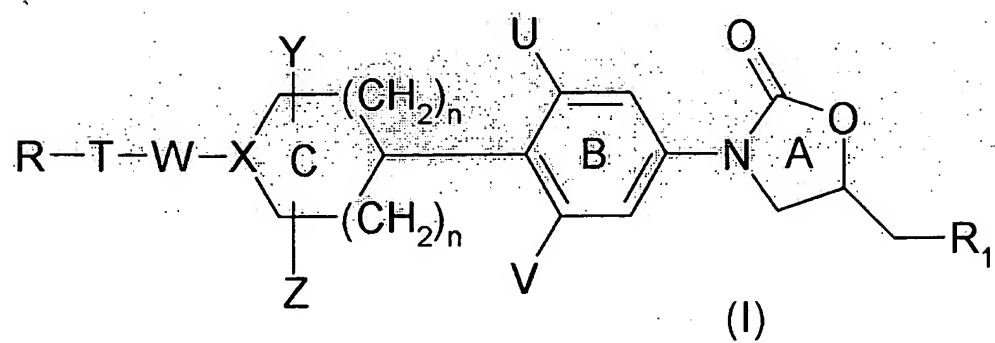
reducing agent:

(VIII) is prepared by converting a compound of formula (VII) (II; Q = R<sub>14</sub>):

R<sub>14</sub>= is any group which can be converted to R<sub>15</sub> in 1-5 steps; and

R<sub>15</sub>= Q.

**Main Drawing Sheet(s) or Clipped Structure(s)**



**Title Terms /Index Terms/Additional Words:** SUBSTITUTE; PHENYL; OXAZOLIDINONE;  
DERIVATIVE; USEFUL; ANTIMICROBIAL; AGENT; EFFECT; NUMBER; HUMAN;  
VETERINARY ; PATHOGEN

# Class Codes

## International Patent Classification

IPC	Class Level	Scope	Position	Status	Version Date
C07D-263/20; C07D-413/14			Main		"Version 7"
A61K-031/42; A61K-031/422; A61K-031/4468; A61K-031/496; A61K-031/5377; A61K-031/541; A61P-031/04; A61P-043/00; C07D-413/12			Secondary		"Version 7"
A61K-0031/422	A	I	L	R	20060101
A61K-0031/4468	A	I	L	R	20060101
A61K-0031/496	A	I	L	R	20060101
A61K-0031/5377	A	I	L	R	20060101
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A61P-0031/04	A	I	L	R	20060101
A61P-0043/00	A	I	L	R	20060101
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A61K-0031/4468	C	I	L	R	20060101
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**Classification, Issued:** 514218, 514253.1, 514254.02, 540575, 544360, 544370, 546209, 514340, 544369

File Segment: CPI

DWPI Class: B03; C02; D22

Manual Codes (CPI/A-N): B06-H; B07-H; B14-A01; C06-H; C07-H; C14-A01; D09-A01C

### Chemical Indexing

Derwent Registry Numbers: 0457-P; 0457-U; 0876-P; 0876-U; 0919-P; 0919-U; 1013-P; 1013-U; 1208-P; 1208-U; 1300-P; 1300-U; 1713-P; 1713-U; 1829-P; 1829-U; 1997-P; 1997-U

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0058-68603-N 0058-68603-P 0058-68603-T

\*06\* M905 M904 C116 D011 D012 D013 D019 D021 D022 D670 D690 D790 F010 F012  
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\*07\* M905 M904 C116 D011 D012 D019 D021 D022 D029 D602 D612 D622 D632 D690  
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0058-68608-T

\*08\* M905 M904 C116 D011 D012 D013 D019 D021 D022 D029 D670 D690 D699 D790  
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\*09\* M905 M904 C116 F010 F011 F012 F013 F014 F015 F016 F019 F020 F029 F211  
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N335 N342 P220 Q261 00035 00346 00347 00402 00403 00414 00443 00463  
00469 07791 0058-68607-K 0058-68607-P 0058-68607-T

\*10\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F163 F553 F610 G013 G015  
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M393 M413 M510 M523 M531 M532 M540 M720 N243 N321 N342 N362 N412 P220  
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\*11\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F163 F553 F610 G013 G015  
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J5 J521 K630 K820 K850 L410 L462 L9 L922 M116 M210 M211 M262 M272 M281  
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M540 M720 N209 N243 N261 N262 N334 P220 Q261 00262 0058-68611-K  
0058-68611-P 0058-68611-T

\*12\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F433 F553 F610 F653 G015  
G100 H1 H141 H181 H2 H202 H211 H212 H6 H601 H641 J0 J012 J3 J311 J371  
J5 J521 L9 L922 M210 M211 M214 M233 M262 M272 M281 M311 M322 M342 M373  
M392 M413 M510 M523 M531 M540 M720 N224 N241 N261 N331 N341 P220 Q261  
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\*13\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F553 F610 G015 G100 H1  
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\*14\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F553 F610 G015 G100 H1  
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\*15\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F163 F553 F610 G015 G100  
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M531 M540 M730 Q261 00262 RA6JTV-K RA6JTV-S 516476-K 516476-S

\*16\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F553 F610 G015 G100 H1  
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L922 M210 M211 M262 M281 M311 M322 M342 M373 M392 M413 M510 M523 M531  
M540 M730 Q261 RA6JTW-K RA6JTW-S 516477-K 516477-S

\*17\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F553 F610 G015 G100 H1  
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L9 L922 M210 M211 M262 M272 M281 M311 M322 M342 M373 M392 M413 M510  
M523 M531 M540 M730 Q261 RA6JTX-K RA6JTX-S 516478-K 516478-S

\*18\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F553 F610 F653 G015 G100  
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M540 M730 Q261 RA6JTY-K RA6JTY-S 516479-K 516479-S

\*19\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F433 F553 F610 G015 G100  
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M342 M373 M392 M413 M510 M523 M531 M540 M730 Q261 RA6JTY-K RA6JTY-S  
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\*20\* M905 M904 D012 D021 D690 F012 F013 F015 F019 F211 F610 G015 G100 H1  
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M210 M211 M262 M273 M281 M311 M322 M342 M372 M373 M391 M412 M511 M522  
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\*21\* M905 M904 D012 D021 D690 F012 F013 F015 F019 F111 F610 G015 G100 H1  
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L922 M210 M211 M262 M273 M281 M311 M322 M342 M372 M373 M391 M412 M511  
M522 M531 M540 M730 Q261 00690 RA6JU2-K RA6JU2-S 516485-K 516485-S

\*22\* M905 M904 D012 D021 D690 F012 F013 F015 F019 F211 F610 G015 G100 H1  
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M522 M531 M540 M730 Q261 00690 RA6JU3-K RA6JU3-S 516486-K 516486-S

\*23\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F553 F610 G015 G100 H1  
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\*24\* M905 M904 C017 C100 C800 C801 C803 C804 C805 C806 C807 F011 F012 F013  
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M311 M321 M342 M373 M391 M411 M510 M523 M531 M540 M640 M730 Q261  
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\*25\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F553 F610 G015 G100 H1  
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\*26\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F553 F610 G015 G100 H1  
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RA6JO4-P RA6JO4-T 516255-N 516255-P 516255-T

\*27\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F553 F610 G015 G100 H1  
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M523 M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261  
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\*28\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F553 F610 G015 G100 H1  
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RA6JO6-P RA6JO6-T 516257-N 516257-P 516257-T

\*29\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F553 F610 G015 G100 H1  
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\*30\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F553 F610 G015 G100 H1  
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\*31\* M905 M904 F011 F012 F013 F014 F015 F019 F211 F553 F610 G015 G100 H1  
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 M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261 RA6JO9-N  
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\*32\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F553 F610 G015 G100 H1  
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\*33\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F553 F610 G015 G100 H1  
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\*34\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F553 F610 G015 G100 H1  
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\*35\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F553 F610 G015 G100 H1  
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\*36\* M905 M904 F011 F012 F013 F014 F015 F019 F211 F553 F610 G015 G100 H1  
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\*37\* M905 M904 F011 F012 F013 F014 F015 F019 F211 F553 F610 G015 G100 H1  
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\*38\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F553 F610 G015 G100 H1  
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\*39\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F553 F610 G015 G100 H1  
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 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261 RA6JOH-N  
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\*40\* M905 M904 C017 C100 C800 C801 C803 C804 C805 C806 C807 F011 F012 F013  
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 516270-P 516270-T

\*41\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F553 F610 G015 G100 H141  
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 N242 N261 N311 N315 N333 P220 Q261 RA6JOJ-N RA6JOJ-P RA6JOJ-T 516271-N  
 516271-P 516271-T

\*42\* M905 M904 F011 F012 F013 F014 F015 F019 F421 F553 F610 G015 G100 H1  
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 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261 RA6JOK-N RA6JOK-P

RA6JOK-T 516272-N 516272-P 516272-T

\*43\* M905 M904 F011 F012 F013 F014 F015 F019 F211 F553 F610 G015 G100 H1  
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M210 M211 M240 M262 M281 M311 M322 M342 M373 M392 M413 M510 M523 M531  
M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261 RA6JOL-N  
RA6JOL-P RA6JOL-T 516273-N 516273-P 516273-T

\*44\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F553 F610 G015 G100 H1  
H141 H181 H2 H202 H211 H6 H601 H641 J0 J011 J3 J371 J5 J521 L9 L922  
M210 M211 M262 M281 M311 M322 M342 M373 M392 M413 M510 M523 M531 M540  
M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261 RA6JOM-N RA6JOM-P  
RA6JOM-T 516274-N 516274-P 516274-T

\*45\* M905 M904 F011 F012 F013 F014 F015 F019 F211 F553 F610 G015 G100 H1  
H141 H181 H2 H202 H211 H6 H601 H641 J0 J011 J3 J371 J5 J521 L9 L922  
M210 M211 M240 M262 M281 M311 M322 M342 M373 M392 M413 M510 M523 M531  
M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261 RA6JON-N  
RA6JON-P RA6JON-T 516275-N 516275-P 516275-T

\*46\* M905 M904 F011 F012 F013 F014 F015 F019 F421 F553 F610 G015 G100 H1  
H141 H182 H2 H203 H211 H6 H601 H641 J0 J011 J3 J371 J5 J521 L9 L922  
M210 M211 M262 M273 M281 M311 M322 M342 M373 M392 M413 M510 M523 M531  
M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261 RA6JOO-N  
RA6JOO-P RA6JOO-T 516276-N 516276-P 516276-T

\*47\* M905 M904 F011 F012 F013 F014 F015 F019 F211 F553 F610 G015 G100 H1  
H141 H181 H2 H202 H211 H3 H321 H6 H601 H641 J0 J011 J3 J371 J5 J521 L9  
L922 M210 M211 M262 M281 M311 M322 M342 M373 M392 M413 M510 M523 M531  
M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261 RA6JOP-N  
RA6JOP-P RA6JOP-T 516277-N 516277-P 516277-T

\*48\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F553 F610 F740 G015 G100  
H1 H141 H182 H2 H203 H211 H6 H601 H641 J0 J011 J3 J371 J5 J521 L9 L922  
M210 M211 M262 M281 M311 M323 M342 M373 M393 M413 M510 M523 M531 M540  
M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261 00245 RA6JOQ-N  
RA6JOQ-P RA6JOQ-T 516278-N 516278-P 516278-T

\*49\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F553 F610 F653 G015 G100  
H1 H141 H182 H2 H203 H211 H6 H601 H641 J0 J011 J3 J371 J5 J521 L9 L922  
M210 M211 M262 M281 M311 M323 M342 M373 M393 M413 M510 M523 M531 M540  
M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261 RA6JOR-N RA6JOR-P  
RA6JOR-T 516279-N 516279-P 516279-T

\*50\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F553 F610 G015 G100 H1  
H141 H181 H2 H202 H211 H6 H601 H641 J0 J012 J2 J271 J3 J371 J5 J521 L9  
L922 M210 M211 M262 M282 M311 M323 M342 M373 M393 M413 M510 M523 M531  
M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261 RA6JOS-N  
RA6JOS-P RA6JOS-T 516280-N 516280-P 516280-T

\*51\* M905 M904 F011 F012 F013 F014 F015 F019 F211 F553 F610 G015 G100 H1  
H141 H181 H2 H202 H211 H6 H601 H603 H621 H641 J0 J011 J3 J371 J5 J521  
L9 L922 M210 M211 M262 M281 M311 M322 M342 M373 M392 M413 M510 M523  
M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261 RA6JSC-N  
RA6JSC-P RA6JSC-T 516421-N 516421-P 516421-T

\*52\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F553 F610 G015 G100 H1  
H141 H181 H2 H202 H211 H3 H321 H6 H601 H602 H608 H641 H684 J0 J011 J3  
J371 J5 J521 L9 L922 M280 M311 M323 M342 M343 M349 M362 M373 M391 M392  
M413 M510 M523 M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220  
Q261 RA6JSD-N RA6JSD-P RA6JSD-T 516422-N 516422-P 516422-T

\*53\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F553 F610 G015 G100 H1  
H141 H181 H2 H202 H211 H4 H401 H481 H6 H601 H641 H8 J0 J011 J3 J371 J5  
J521 L9 L922 M210 M211 M262 M281 M311 M323 M342 M373 M393 M413 M510  
M523 M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261  
RA6JTS-N RA6JTS-P RA6JTS-T 516473-N 516473-P 516473-T

\*54\* M905 M904 F011 F012 F013 F014 F015 F553 F610 G010 G015 G019 G100 H1  
H141 H2 H201 H212 H4 H401 H481 H6 H601 H641 H8 J0 J012 J3 J372 J5 J521  
L9 L922 M1 M121 M129 M132 M139 M150 M210 M211 M262 M281 M311 M322 M342



M344 M349 M371 M373 M391 M413 M510 M522 M533 M540 M710 M720 N225 N242  
N261 N311 N315 N333 P220 Q261 RA6JSO-N RA6JSO-P RA6JSO-T 516433-N  
516433-P 516433-T

\*55\* M905 M904 D012 D021 D690 F012 F013 F015 F019 F111 F610 G015 G100 H1  
H141 H2 H201 H211 H3 H321 H6 H601 H641 J0 J012 J3 J311 J371 J5 J521 L9  
L922 M1 M126 M136 M210 M211 M262 M273 M281 M311 M321 M342 M373 M391  
M412 M511 M522 M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220  
Q261 00690 RA6JSS-N RA6JSS-P RA6JSS-T 516437-N 516437-P 516437-T

\*56\* M905 M904 D012 D021 D690 F012 F013 F015 F019 F111 F610 G015 G100 H1  
H141 H2 H201 H211 H6 H601 H641 J0 J012 J3 J311 J371 J5 J521 L9 L922 M1  
M126 M136 M210 M211 M262 M273 M281 M311 M321 M342 M373 M391 M412 M511  
M522 M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261 00690  
RA6JST-N RA6JST-P RA6JST-T 516438-N 516438-P 516438-T

\*57\* M905 M904 D012 D021 D690 F012 F013 F015 F019 F111 F610 G015 G100 H1  
H141 H2 H201 H211 H6 H601 H603 H621 H641 J0 J012 J3 J311 J371 J5 J521  
L9 L922 M1 M126 M136 M210 M211 M262 M273 M281 M311 M321 M342 M373 M391  
M412 M511 M522 M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220  
Q261 00690 RA6JSV-N RA6JSV-P RA6JSV-T 516440-N 516440-P 516440-T

\*58\* M905 M904 D012 D021 D690 F012 F013 F015 F019 F211 F610 G015 G100 H1  
H103 H141 H161 H2 H201 H211 H3 H321 H6 H601 H641 J0 J011 J3 J371 J5  
J521 L9 L922 M210 M211 M262 M273 M281 M311 M322 M342 M373 M392 M412  
M511 M522 M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261  
00690 RA6JSW-N RA6JSW-P RA6JSW-T 516441-N 516441-P 516441-T

\*59\* M905 M904 D012 D021 D690 F012 F013 F015 F019 F111 F610 G015 G100 H1  
H103 H141 H161 H2 H201 H211 H3 H321 H6 H601 H641 J0 J011 J3 J371 J5  
J521 L9 L922 M210 M211 M262 M273 M281 M311 M322 M342 M373 M392 M412  
M511 M522 M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261  
00690 RA6JSY-N RA6JSY-P RA6JSY-T 516443-N 516443-P 516443-T

\*60\* M905 M904 D012 D021 D690 F012 F013 F015 F019 F111 F610 G015 G100 H1  
H103 H141 H181 H2 H201 H211 H6 H601 H641 J0 J011 J3 J371 J4 J411 J5  
J521 L9 L922 M210 M211 M262 M273 M281 M311 M323 M342 M373 M393 M412  
M511 M522 M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261  
00690 RA6JT0-N RA6JT0-P RA6JT0-T 516445-N 516445-P 516445-T

\*61\* M905 M904 D012 D021 D690 F012 F013 F015 F019 F111 F610 G015 G100 H1  
H103 H141 H181 H2 H201 H211 H6 H601 H641 J0 J012 J1 J171 J3 J371 J5  
J521 L9 L922 M210 M211 M262 M273 M281 M311 M312 M321 M323 M332 M342  
M372 M373 M391 M393 M412 M511 M522 M531 M540 M710 M720 N225 N242 N261  
N311 N315 N333 P220 Q261 00690 RA6JT1-N RA6JT1-P RA6JT1-T 516446-N  
516446-P 516446-T

\*62\* M905 M904 D012 D021 D690 F012 F013 F015 F019 F211 F610 G015 G100 H1  
H141 H2 H201 H211 H6 H601 H641 J0 J012 J3 J372 J5 J521 L9 L922 M210  
M211 M262 M273 M281 M311 M323 M342 M372 M373 M391 M392 M412 M511 M522  
M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261 00690  
RA6JT2-N RA6JT2-P RA6JT2-T 516447-N 516447-P 516447-T

\*63\* M905 M904 D012 D021 D690 F012 F013 F015 F019 F211 F610 G015 G100 H1  
H103 H141 H181 H2 H201 H211 H3 H321 H6 H601 H641 J0 J011 J3 J371 J5  
J521 L9 L922 M210 M211 M262 M273 M281 M311 M323 M342 M373 M393 M412  
M511 M522 M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261  
00690 RA6JT4-N RA6JT4-P RA6JT4-T 516449-N 516449-P 516449-T

\*64\* M905 M904 D012 D021 D690 F012 F013 F015 F019 F111 F610 G015 G100 H1  
H103 H141 H181 H2 H201 H211 H3 H321 H6 H601 H641 J0 J011 J3 J371 J5  
J521 L9 L922 M210 M211 M262 M273 M281 M311 M323 M342 M373 M393 M412  
M511 M522 M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261  
00690 RA6JT5-N RA6JT5-P RA6JT5-T 516450-N 516450-P 516450-T

\*65\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F433 F610 G015 G100 H1  
H103 H121 H141 H2 H201 H211 H6 H601 H641 J0 J011 J3 J371 J4 J411 J5  
J521 L9 L922 M210 M211 M262 M273 M281 M311 M322 M342 M373 M392 M413  
M510 M523 M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261  
RA6JT6-N RA6JT6-P RA6JT6-T 516451-N 516451-P 516451-T



\*66\* M905 M904 F011 F012 F013 F014 F015 F433 F610 G015 G016 G100 H1 H141 H2  
H201 H211 H6 H601 H609 H643 J0 J012 J3 J321 J371 J5 J521 L9 L922 M1  
M123 M136 M210 M211 M262 M273 M281 M311 M321 M342 M373 M391 M413 M510  
M522 M532 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261  
RA6JT7-N RA6JT7-P RA6JT7-T 516452-N 516452-P 516452-T

\*67\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F433 F610 G015 G100 H1  
H141 H2 H201 H211 H6 H601 H603 H621 H641 J0 J012 J3 J311 J371 J5 J521  
L9 L922 M1 M126 M136 M210 M211 M262 M273 M281 M311 M321 M342 M373 M391  
M413 M510 M523 M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220  
Q261 RA6JT8-N RA6JT8-P RA6JT8-T 516453-N 516453-P 516453-T

\*68\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F433 F610 G015 G100 H1  
H141 H2 H201 H211 H3 H321 H6 H601 H641 J0 J012 J3 J311 J371 J5 J521 L9  
L922 M1 M126 M136 M210 M211 M262 M273 M281 M311 M321 M342 M373 M391  
M413 M510 M523 M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220  
Q261 RA6JT9-N RA6JT9-P RA6JT9-T 516454-N 516454-P 516454-T

\*69\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F433 F610 G015 G100 H1  
H141 H2 H201 H211 H6 H601 H641 J0 J012 J3 J311 J371 J5 J521 L9 L922 M1  
M126 M136 M210 M211 M262 M273 M281 M311 M321 M342 M373 M391 M413 M510  
M523 M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261  
RA6JTA-N RA6JTA-P RA6JTA-T 516455-N 516455-P 516455-T

\*70\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F433 F610 G015 G100 H1  
H141 H2 H201 H211 H6 H601 H641 J0 J012 J3 J311 J371 J5 J521 L9 L922 M1  
M126 M136 M210 M211 M262 M273 M281 M311 M321 M342 M373 M391 M413 M510  
M523 M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261  
RA6JTB-N RA6JTB-P RA6JTB-T 516456-N 516456-P 516456-T

\*71\* M905 M904 F011 F012 F013 F014 F015 F019 F211 F433 F610 G015 G100 H1  
H141 H2 H201 H211 H6 H601 H641 J0 J012 J3 J321 J371 J5 J521 L9 L922  
M210 M211 M262 M273 M281 M311 M322 M342 M372 M373 M391 M413 M510 M523  
M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261 RA6JTC-N  
RA6JTC-P RA6JTC-T 516457-N 516457-P 516457-T

\*72\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F433 F610 G015 G100 H1  
H103 H121 H141 H2 H201 H211 H6 H601 H641 J0 J011 J3 J371 J5 J521 L9  
L922 M210 M211 M262 M273 M281 M311 M322 M342 M373 M392 M413 M510 M523  
M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261 RA6JTD-N  
RA6JTD-P RA6JTD-T 516458-N 516458-P 516458-T

\*73\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F433 F610 G015 G100 H1  
H103 H121 H141 H2 H201 H211 H6 H601 H641 J0 J011 J3 J371 J5 J521 L9  
L922 M1 M126 M143 M210 M211 M262 M273 M281 M311 M321 M342 M373 M391  
M413 M510 M523 M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220  
Q261 RA6JTE-N RA6JTE-P RA6JTE-T 516459-N 516459-P 516459-T

\*74\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F433 F610 G015 G100 H1  
H103 H121 H141 H2 H201 H211 H3 H321 H6 H601 H641 J0 J011 J3 J371 J5  
J521 L9 L922 M210 M211 M262 M273 M281 M311 M322 M342 M373 M392 M413  
M510 M523 M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261  
RA6JTF-N RA6JTF-P RA6JTF-T 516460-N 516460-P 516460-T

\*75\* M905 M904 F011 F012 F013 F014 F015 F019 F211 F433 F610 G015 G100 H1  
H103 H121 H141 H2 H201 H211 H3 H321 H6 H601 H641 J0 J011 J3 J371 J5  
J521 L9 L922 M210 M211 M262 M273 M281 M311 M322 M342 M373 M392 M413  
M510 M523 M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261  
RA6JTG-N RA6JTG-P RA6JTG-T 516461-N 516461-P 516461-T

\*76\* M905 M904 F011 F012 F013 F014 F015 F019 F211 F433 F610 G015 G100 H1  
H103 H121 H141 H2 H201 H211 H6 H601 H641 J0 J011 J3 J371 J5 J521 L9  
L922 M210 M211 M262 M273 M281 M311 M322 M342 M373 M392 M413 M510 M523  
M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261 RA6JTH-N  
RA6JTH-P RA6JTH-T 516462-N 516462-P 516462-T

\*77\* M905 M904 F011 F012 F013 F014 F015 F019 F211 F433 F610 G015 G100 H1  
H103 H121 H141 H2 H201 H211 H6 H601 H641 J0 J011 J3 J371 J5 J521 L9  
L922 M210 M211 M240 M262 M273 M281 M311 M322 M342 M373 M392 M413 M510  
M523 M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261

RA6JTI-N RA6JTI-P RA6JTI-T 516463-N 516463-P 516463-T  
 \*78\* M905 M904 F011 F012 F013 F014 F015 F019 F211 F433 F610 G015 G100 H1  
 H103 H121 H141 H2 H201 H211 H6 H601 H603 H621 H641 J0 J011 J3 J371 J5  
 J521 L9 L922 M210 M211 M262 M273 M281 M311 M322 M342 M373 M392 M413  
 M510 M523 M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261  
 RA6JTJ-N RA6JTJ-P RA6JTJ-T 516464-N 516464-P 516464-T  
 \*79\* M905 M904 M910 A111 A940 B105 B720 B760 B809 B831 C101 C802 C804 C805  
 C806 C807 M411 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261  
 R01997-N R01997-P R01997-T 107320-N 107320-P 107320-T 107320-U  
 \*80\* M905 M904 C009 C216 K0 K1 K122 K199 K3 K352 K399 M210 M212 M273 M282  
 M320 M416 M620 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261  
 RA32D0-N RA32D0-P RA32D0-T 1647-N 1647-P 1647-T  
 \*81\* M905 M904 M910 C101 C107 C108 C520 C730 C800 C801 C802 C804 C807 M411  
 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261 R01829-N R01829-P  
 R01829-T R07207-N R07207-P R07207-T 188420-P 188420-U 218-N 218-P  
 218-T 218-U 3860-P 3860-U  
 \*82\* M905 M904 C101 C107 C108 C520 C550 C730 C800 C801 C802 C804 C807 M411  
 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261 R04336-N R04336-P  
 R04336-T 129987-P 129987-U 130081-N 130081-P 130081-T 130081-U  
 130554-P 130554-U 131865-P 131865-U 132879-P 132879-U 244-P 244-U  
 97129-P 97129-U  
 \*83\* M905 M904 K0 L2 L230 M280 M320 M416 M620 M710 M720 N225 N242 N261 N311  
 N315 N333 P220 Q261 R21018-N R21018-P R21018-T 1341-N 1341-P 1341-T  
 \*84\* M905 M904 H6 H607 H685 H689 K0 K4 K410 K432 K499 M280 M311 M322 M344  
 M362 M392 M416 M620 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261  
 RA2CSO-N RA2CSO-P RA2CSO-T 207-N 207-P 207-T  
 \*85\* M905 M904 M910 H1 H103 H181 M210 M212 M273 M283 M320 M416 M620 M710  
 M720 N225 N242 N261 N311 N315 N333 P220 Q261 R01013-N R01013-P  
 R01013-T 76-N 76-P 76-T 76-U  
 \*86\* M905 M904 M910 H4 H402 H482 H8 M280 M313 M321 M332 M342 M383 M391 M416  
 M620 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261 R01300-N  
 R01300-P R01300-T 813-N 813-P 813-T 813-U  
 \*87\* M905 M904 M910 B105 B701 B720 B752 B803 B805 B809 B831 C009 C100 C800  
 C803 C804 C805 C806 C807 H5 H581 H8 M210 M212 M272 M282 M320 M411 M510  
 M520 M530 M540 M620 M710 M720 M770 N225 N242 N261 N311 N315 N333 P220  
 Q261 R00876-N R00876-P R00876-T RA0030-N RA0030-P RA0030-T 129427-N  
 129427-P 129427-T 129427-U 85213-N 85213-P 85213-T 85213-U  
 \*88\* M905 M904 M910 C500 C730 C800 C801 C802 C804 C806 C807 M411 M710 M720  
 N225 N242 N261 N311 N315 N333 P220 Q261 R01713-N R01713-P R01713-T  
 12-N 12-P 12-T 12-U  
 \*89\* M905 M904 M910 F000 F653 M280 M320 M413 M510 M521 M530 M540 M710 M720  
 N225 N242 N261 N311 N315 N333 P220 Q261 R00919-N R00919-P R00919-T  
 328-N 328-P 328-T 328-U  
 \*90\* M905 M904 M910 C017 K0 L5 L512 L560 L599 M280 M320 M416 M620 M710 M720  
 N225 N242 N261 N311 N315 N333 P220 Q261 R00457-N R00457-P R00457-T  
 374-N 374-P 374-T 374-U  
 \*91\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F560 F610 G015 G100 H1  
 H141 H181 H2 H202 H211 H6 H601 H641 J0 J011 J3 J371 J4 J411 J5 J521 L9  
 L922 M210 M211 M262 M281 M311 M322 M342 M373 M392 M413 M510 M523 M531  
 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261 00347 RA6JTK-N  
 RA6JTK-P RA6JTK-T 516465-N 516465-P 516465-T  
 \*92\* M905 M904 F011 F012 F013 F014 F015 F019 F211 F560 F610 G015 G100 H1  
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 M211 M262 M281 M311 M322 M342 M372 M373 M391 M413 M510 M523 M531 M540  
 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261 00347 RA6JTL-N  
 RA6JTL-P RA6JTL-T 516466-N 516466-P 516466-T  
 \*93\* M905 M904 F011 F012 F013 F014 F015 F019 F211 F560 F610 G015 G100 H1  
 H141 H181 H2 H202 H211 H3 H321 H6 H601 H641 J0 J011 J3 J371 J5 J521 L9  
 L922 M210 M211 M262 M281 M311 M322 M342 M373 M392 M413 M510 M523 M531

M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261 00347 RA6JTM-N  
RA6JTM-P RA6JTM-T 516467-N 516467-P 516467-T

\*94\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F560 F610 G015 G100 H1  
H141 H181 H2 H202 H211 H6 H601 H641 J0 J011 J3 J371 J5 J521 L9 L922  
M210 M211 M262 M281 M311 M322 M342 M373 M392 M413 M510 M523 M531 M540  
M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261 00347 RA6JTN-N  
RA6JTN-P RA6JTN-T 516468-N 516468-P 516468-T

\*95\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F553 F610 G015 G100 H1  
H141 H181 H2 H202 H211 H6 H601 H609 H641 H684 J0 J011 J3 J371 J5 J521  
L9 L922 M210 M211 M262 M281 M311 M323 M342 M343 M353 M373 M391 M392  
M413 M510 M523 M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220  
Q261 RA6JTO-N RA6JTO-P RA6JTO-T 516469-N 516469-P 516469-T

\*96\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F553 F610 G015 G100 H1  
H141 H181 H2 H202 H211 H6 H601 H641 J0 J011 J3 J371 J5 J521 K0 K8 K840  
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Ring Index Numbers: (Linked) 00035; 00346; 00347; 00402; 00403; 00414; 00443; 00463; 00469;  
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00347; 00347; 00347

Specific Compound Numbers: RA6JTT-K; RA6JTT-S; RA6JTU-K; RA6JTU-S; RA6JTV-K; RA6JTV-  
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R01013-N; R01013-P; R01013-T; R01300-N; R01300-P; R01300-T; R00876-N; R00876-P; R00876-T;  
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Generic (Markush) Compound Numbers: 0058-68604-N; 0058-68604-P; 0058-68604-T; 0058-68605-N;  
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Derwent Chemistry Resource Numbers: (Linked) 516474-K; 516474-S; 516475-K; 516475-S; 516476-  
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#### Key Word Indexing

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RAY A

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DAS B

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**Language:** EN

**Application:** AU 2001269370 A 20010716 (Local application)

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**Assignee:** RANBAXY LAB LTD; IN (RANB-N)

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**Application:** AU 200169370 A 20010716 (Local application)

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## **Brazil**

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**Publication Date:** 20030624

**Assignee:** RANBAXY LAB LTD (RANB-N)

**Inventor:** METHA A

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**Language:** PT

**Application:** BR 200112826 A 20010716 (Local application)

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**Related Publication:** WO 2002006278 A (Based on OPI patent )

**Original IPC:** C07D-413/14(A) A61K-31/42(B) C07D-413/12(B)

**Current IPC:** A61K-31/422(R,I,M,JP,20060101,20051220,A,L) A61K-31/422

(R,I,M,JP,20060101,20051220,C,L) A61K-31/4468(R,I,M,JP,20060101,20051220,A,L) A61K-31/4468

(R,I,M,JP,20060101,20051220,C,L) A61K-31/496(R,I,M,JP,20060101,20051220,A,L) A61K-31/496

(R,I,M,JP,20060101,20051220,C,L) A61K-31/5375(R,I,M,JP,20060101,20051220,C,L) A61K-31/5377

(R,I,M,JP,20060101,20051220,A,L) A61K-31/541(R,I,M,JP,20060101,20051220,A,L) A61K-31/541

(R,I,M,JP,20060101,20051220,C,L) A61P-31/00(R,I,M,JP,20060101,20051220,C,L) A61P-31/04

(R,I,M,JP,20060101,20051220,A,L) A61P-43/00(R,I,M,JP,20060101,20051220,A,L) A61P-43/00

(R,I,M,JP,20060101,20051220,C,L) C07D-263/00(R,I,M,JP,20060101,20051220,C,F) C07D-263/20

(R,I,M,JP,20060101,20051220,A,F) C07D-413/00(R,I,M,EP,20060101,20051008,C) C07D-413/10

(R,I,M,EP,20060101,20051008,A) C07D-413/12(R,I,M,EP,20060101,20051008,A) C07D-413/14

(R,I,M,EP,20060101,20051008,A)

## **China**

**Publication No.** CN 1447808 A (Update 200403 E)

**Publication Date:** 20031008

**Assignee:** RANBAXY LAB LTD; IN (RANB-N)

**Language:** ZH

**Application:** CN 2001814422 A 20010716 (Local application)

**Priority:** IN 2000DE654 A 20000717

**Original IPC:** C07D-413/14(A) A61K-31/42(B) C07D-413/12(B)

**Current IPC:** A61K-31/422(R,I,M,JP,20060101,20051220,A,L) A61K-31/422

(R,I,M,JP,20060101,20051220,C,L) A61K-31/4468(R,I,M,JP,20060101,20051220,A,L) A61K-31/4468

(R,I,M,JP,20060101,20051220,C,L) A61K-31/496(R,I,M,JP,20060101,20051220,A,L) A61K-31/496

(R,I,M,JP,20060101,20051220,C,L) A61K-31/5375(R,I,M,JP,20060101,20051220,C,L) A61K-31/5377

(R,I,M,JP,20060101,20051220,A,L) A61K-31/541(R,I,M,JP,20060101,20051220,A,L) A61K-31/541

(R,I,M,JP,20060101,20051220,C,L) A61P-31/00(R,I,M,JP,20060101,20051220,C,L) A61P-31/04

(R,I,M,JP,20060101,20051220,A,L) A61P-43/00(R,I,M,JP,20060101,20051220,A,L) A61P-43/00



(R,I,M,JP,20060101,20051220,C,L) C07D-263/00(R,I,M,JP,20060101,20051220,C,F) C07D-263/20  
(R,I,M,JP,20060101,20051220,A,F) C07D-413/00(R,I,M,EP,20060101,20051008,C) C07D-413/10  
(R,I,M,EP,20060101,20051008,A) C07D-413/12(R,I,M,EP,20060101,20051008,A) C07D-413/14  
(R,I,M,EP,20060101,20051008,A)

## **Czech Republic**

**Publication No.** CZ 200300228 A3 (Update 200347 E)

**Publication Date:** 20030618

**Assignee:** RANBAXY LAB LTD (RANB-N)

**Inventor:** MEHTA A

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RUDRA S

RATTAN A

**Language:** CS

**Application:** WO 2001IB1262 A 20010716 (PCT Application)

CZ 2003228 A 20010716 (Local application)

**Priority:** IN 2000DE654 A 20000717

**Related Publication:** WO 2002006278 A (Based on OPI patent )

**Original IPC:** C07D-413/14(A) A61K-31/381(B) A61K-31/422(B) A61K-31/496(B) A61K-31/551(B)  
A61P-31/04(B) C07D-413/12(B)

**Current IPC:** A61K-31/422(R,A,I,M,JP,20060101,20051220,A,L) A61K-31/422

(R,I,M,JP,20060101,20051220,C,L) A61K-31/4468(R,I,M,JP,20060101,20051220,A,L) A61K-31/4468

(R,I,M,JP,20060101,20051220,C,L) A61K-31/496(R,I,M,JP,20060101,20051220,A,L) A61K-31/496

(R,I,M,JP,20060101,20051220,C,L) A61K-31/5375(R,I,M,JP,20060101,20051220,C,L) A61K-31/5377

(R,I,M,JP,20060101,20051220,A,L) A61K-31/541(R,I,M,JP,20060101,20051220,A,L) A61K-31/541

~~(R,I,M,JP,20060101,20051220,C,L) A61P-31/00(R,I,M,JP,20060101,20051220,C,L) A61P-31/04~~

(R,I,M,JP,20060101,20051220,A,L) A61P-43/00(R,I,M,JP,20060101,20051220,A,L) A61P-43/00

(R,I,M,JP,20060101,20051220,C,L) C07D-263/00(R,I,M,JP,20060101,20051220,C,F) C07D-263/20

(R,I,M,JP,20060101,20051220,A,F) C07D-413/00(R,I,M,EP,20060101,20051008,C) C07D-413/10

(R,I,M,EP,20060101,20051008,A) C07D-413/12(R,I,M,EP,20060101,20051008,A) C07D-413/14

(R,I,M,EP,20060101,20051008,A)

## **EPO**

**Publication No.** EP 1303511 A1 (Update 200329 E)

**Publication Date:** 20030423

**OXAZOLIDON DERIVATEN ALS ANTIMIKROBIELLER**

**OXAZOLIDINONE DERIVATIVES AS ANTIMICROBIALS**

**DERIVES D'OXAZOLIDINONE UTILISES EN TANT QU'ANTIMICROBIENS**

**Assignee:** RANBAXY LABORATORIES, LTD., 19, Nehru Place, New Delhi 110 019, IN (RANB-N)

**Inventor:** MEHTA, Anita, L-19/3, Phase II, DLF Qutab Enclave, Gurgaon 122001, Haryana, IN

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RUDRA, Sonali, M-7, Kalkaji, New Delhi 110 019, Maharashtra, IN

RATTAN, Ashok, B-481, Sarita Vihar, New Delhi 110 044, Maharashtra, IN

**Agent:** Cronin, Brian Harold John, Cronin Intellectual Property, Route de Clementy 62, 1260 Nyon, CH



Language: EN

Application: EP 2001947730 A 20010716 (Local application)

WO 2001IB1262 A 20010716 (PCT Application)

Priority: IN 2000DE654 A 20000717

Related Publication: WO 2002006278 A (Based on OPI patent )

Designated States: (Regional Original) AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU  
LV MC MK NL PT RO SE SI TR

Original IPC: C07D-413/14(A) A61K-31/42(B) C07D-413/12(B)

Current IPC: A61K-31/422(R,I,M,JP,20060101,20051220,A,L) A61K-31/422

(R,I,M,JP,20060101,20051220,C,L) A61K-31/4468(R,I,M,JP,20060101,20051220,A,L) A61K-31/4468

(R,I,M,JP,20060101,20051220,C,L) A61K-31/496(R,I,M,JP,20060101,20051220,A,L) A61K-31/496

(R,I,M,JP,20060101,20051220,C,L) A61K-31/5375(R,I,M,JP,20060101,20051220,C,L) A61K-31/5377

(R,I,M,JP,20060101,20051220,A,L) A61K-31/541(R,I,M,JP,20060101,20051220,A,L) A61K-31/541

(R,I,M,JP,20060101,20051220,C,L) A61P-31/00(R,I,M,JP,20060101,20051220,C,L) A61P-31/04

(R,I,M,JP,20060101,20051220,A,L) A61P-43/00(R,I,M,JP,20060101,20051220,A,L) A61P-43/00

(R,I,M,JP,20060101,20051220,C,L) C07D-263/00(R,I,M,JP,20060101,20051220,C,F) C07D-263/20

(R,I,M,JP,20060101,20051220,A,F) C07D-413/00(R,I,M,EP,20060101,20051008,C) C07D-413/10

(R,I,M,EP,20060101,20051008,A) C07D-413/12(R,I,M,EP,20060101,20051008,A) C07D-413/14

(R,I,M,EP,20060101,20051008,A)

Original Abstract:

The present invention relates to certain substituted phenyl oxazolidinones and to processes for the synthesis of the same. This invention also relates to pharmaceutical compositions containing the compounds of the present invention as anti-microbials. The compounds are useful antimicrobial agents, effective against a number of human and veterinary pathogens, including gram-positive aerobic bacteria such as multiply-resistant staphylococci, streptococci and enterococci as well as anaerobic organisms such as *Bacterioides* spp. and *Clostridia* spp. species, and acid fast organisms such as *Mycobacterium tuberculosis*, *Mycobacterium avium* and *Mycobacterium* spp.

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## Hungary

Publication No. HU 200302918 A2 (Update 200413 E)

Publication Date: 20031229

Assignee: RANBAXY LAB LTD (RANB-N)

Inventor: ARORA S K

DAS B

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RATTAN A

RAY A

RUDRA S

Language: HU

Application: WO 2001IB1262 A 20010716 (PCT Application)

HU 20032918 A 20010716 (Local application)

Priority: IN 2000DE654 A 20000717

Related Publication: WO 2002006278 A (Based on OPI patent )

Original IPC: C07D-413/14(A) A61K-31/42(B) A61P-31/04(B) C07D-413/12(B)

Current IPC: A61K-31/422(R,A,I,M,JP,20060101,20051220,A,L) A61K-31/422

(R,I,M,JP,20060101,20051220,C,L) A61K-31/4468(R,I,M,JP,20060101,20051220,A,L) A61K-31/4468

(R,I,M,JP,20060101,20051220,C,L) A61K-31/496(R,I,M,JP,20060101,20051220,A,L) A61K-31/496

(R,I,M,JP,20060101,20051220,C,L) A61K-31/5375(R,I,M,JP,20060101,20051220,C,L) A61K-31/5377

(R,I,M,JP,20060101,20051220,A,L) A61K-31/541(R,I,M,JP,20060101,20051220,A,L) A61K-31/541  
(R,I,M,JP,20060101,20051220,C,L) A61P-31/00(R,I,M,JP,20060101,20051220,C,L) A61P-31/04  
(R,I,M,JP,20060101,20051220,A,L) A61P-43/00(R,I,M,JP,20060101,20051220,A,L) A61P-43/00  
(R,I,M,JP,20060101,20051220,C,L) C07D-263/00(R,I,M,JP,20060101,20051220,C,F) C07D-263/20  
(R,I,M,JP,20060101,20051220,A,F) C07D-413/00(R,I,M,EP,20060101,20051008,C) C07D-413/10  
(R,I,M,EP,20060101,20051008,A) C07D-413/12(R,I,M,EP,20060101,20051008,A) C07D-413/14  
(R,I,M,EP,20060101,20051008,A)

## Japan

**Publication No.** JP 2004504321 W (Update 200413 E)

**Publication Date:** 20040212

**Language:** JA (208 pages)

**Application:** WO 2001IB1262 A 20010716 (PCT Application)

JP 2002512181 A 20010716 (Local application)

**Priority:** IN 2000DE654 A 20000717

**Related Publication:** WO 2002006278 A (Based on OPI patent-)

**Original IPC:** C07D-263/20(A) A61K-31/422(B) A61K-31/4468(B) A61K-31/496(B) A61K-31/5377  
(B) A61K-31/541(B) A61P-31/04(B) A61P-43/00(B) C07D-413/12(B) C07D-413/14(B)

**Current IPC:** C07D-263/20(A) A61K-31/422(B) A61K-31/4468(B) A61K-31/496(B) A61K-31/5377(B)  
A61K-31/541(B) A61P-31/04(B) A61P-43/00(B) C07D-413/12(B) C07D-413/14(B)

## Mexico

**Publication No.** MX 2003000503 A1 (Update 200470 E)

**Publication Date:** 20031201

**Assignee:** RANBAXY LAB LTD (RANB-N)

**Inventor:** MEHTA A

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DAS B

RAY A

RUDRA S

RATTAN A

**Language:** ES

**Application:** WO 2001IB1262 A 20010716 (PCT Application)

MX 2003503 A 20030116 (Local application)

**Priority:** IN 2000DE654 A 20000717

**Related Publication:** WO 2002006278 A (Based on OPI patent )

**Original IPC:** A61K-31/42(A) C07D-413/12(B) C07D-413/14(B)

**Current IPC:** A61K-31/422(R,A,I,M,JP,20060101,20051220,A,L) A61K-31/422

(R,I,M,JP,20060101,20051220,C,L) A61K-31/4468(R,I,M,JP,20060101,20051220,A,L) A61K-31/4468

(R,I,M,JP,20060101,20051220,C,L) A61K-31/496(R,I,M,JP,20060101,20051220,A,L) A61K-31/496

(R,I,M,JP,20060101,20051220,C,L) A61K-31/5375(R,I,M,JP,20060101,20051220,C,L) A61K-31/5377

(R,I,M,JP,20060101,20051220,A,L) A61K-31/541(R,I,M,JP,20060101,20051220,A,L) A61K-31/541

(R,I,M,JP,20060101,20051220,C,L) A61P-31/00(R,I,M,JP,20060101,20051220,C,L) A61P-31/04

(R,I,M,JP,20060101,20051220,A,L) A61P-43/00(R,I,M,JP,20060101,20051220,A,L) A61P-43/00

(R,I,M,JP,20060101,20051220,C,L) C07D-263/00(R,I,M,JP,20060101,20051220,C,F) C07D-263/20

(R,I,M,JP,20060101,20051220,A,F) C07D-413/00(R,I,M,EP,20060101,20051008,C) C07D-413/10

(R,I,M,EP,20060101,20051008,A) C07D-413/12(R,I,M,EP,20060101,20051008,A) C07D-413/14

(R,I,M,EP,20060101,20051008,A)

## **New Zealand**

**Publication No.** NZ 523700 A (Update 200479 E)

**Publication Date:** 20041126

**Assignee:** RANBAXY LAB LTD (RANB-N)

**Inventor:** MEHTA A

ARORA S K

DAS B

RAY A

RUDRA S

RATTAN A

**Language:** EN

**Application:** NZ 523700 A 20010716 (Local application)

WO 2001IB1262 A 20010716 (PCT Application)

**Priority:** IN 2000DE654 A 20000717

**Related Publication:** WO 2002006278 A (Based on OPI patent )

**Original IPC:** C07D-413/14(A) A61K-31/42(B) A61P-31/04(B) C07D-413/12(B)

**Current IPC:** C07D-413/00(R,A,I,M,EP,20060101,20051008,C) C07D-413/10

(R,I,M,EP,20060101,20051008,A) C07D-413/12(R,I,M,EP,20060101,20051008,A) C07D-413/14

(R,I,M,EP,20060101,20051008,A)

## **Russia**

**Publication No.** RU 2292345 C2 (Update 200752 E)

**Publication Date:** 20070127

**Assignee:** RANBAXY LAB LTD; IN (RANB-N)

**Language:** RU

**Application:** WO 2001IB1262 A 20010716 (PCT Application)

RU 2003103767 A 20010716 (Local application)

**Priority:** IN 2000DE654 A 20000717

**Related Publication:** WO 2002006278 A (Based on OPI patent )

**Original IPC:** C07D-263/00(B,I,M,98,20060101,20060926,C,L) C07D-263/20

(B,I,H,RU,20060101,20060926,A,L) C07D-413/00(B,I,M,98,20060101,20060926,C,F) C07D-413/00

(B,I,M,98,20060101,20060926,C,L) C07D-413/12(B,I,H,RU,20060101,20060926,A,L) C07D-413/14

(B,I,H,RU,20060101,20060926,A,F)

**Current IPC:** C07D-263/00(B,I,M,98,20060101,20060926,C,L) C07D-263/20

(B,I,H,RU,20060101,20060926,A,L) C07D-413/00(B,I,M,98,20060101,20060926,C,F) C07D-413/00

(B,I,M,98,20060101,20060926,C,L) C07D-413/12(B,I,H,RU,20060101,20060926,A,L) C07D-413/14

(B,I,H,RU,20060101,20060926,A,F)

**Publication No.** RU 2292345 C9 (Update 200752 E)

**Publication Date:** 20070510

**Assignee:** RANBAXY LAB LTD; IN (RANB-N)

**Language:** RU

**Application:** WO 2001IB1262 A 20010716 (PCT Application)

RU 2003103767 A 20010716 (Local application)

**Priority:** IN 2000DE654 A 20000717

**Related Publication:** WO 2002006278 A (Based on OPI patent )

**Original IPC:** C07D-263/00(B,I,M,98,20060101,20060926,C) C07D-263/20

(B,I,H,RU,20060101,20060926,A,L) C07D-413/00(B,I,M,98,20060101,20060926,C) C07D-413/12  
(B,I,H,RU,20060101,20060926,A,L) C07D-413/14(B,I,H,RU,20060101,20060926,A,F)  
Current IPC: C07D-263/00(B,I,M,98,20060101,20060926,C) C07D-263/20  
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(B,I,H,RU,20060101,20060926,A,L) C07D-413/14(B,I,H,RU,20060101,20060926,A,F)

## **Slovakia**

**Publication No.** SK 200300140 A3 (Update 200360 E)

**Publication Date:** 20030805

**Assignee:** RANBAXY LAB LTD (RANB-N)

**Inventor:** MEHTA A

ARORA S K

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**Language:** SK

**Application:** WO 2001IB1262 A 20010716 (PCT Application)

SK 2003140 A 20010716 (Local application)

**Priority:** IN 2000DE654 A 20000717

**Related Publication:** WO 2002006278 A (Based on OPI patent )

**Original IPC:** C07D-413/14(A) A61K-31/42(B) C07D-413/12(B)

**Current IPC:** A61K-31/422(R,I,M,JP,20060101,20051220,A,L) A61K-31/422

(R,I,M,JP,20060101,20051220,C,L) A61K-31/4468(R,I,M,JP,20060101,20051220,A,L) A61K-31/4468

(R,I,M,JP,20060101,20051220,C,L) A61K-31/496(R,I,M,JP,20060101,20051220,A,L) A61K-31/496

(R,I,M,JP,20060101,20051220,C,L) A61K-31/5375(R,I,M,JP,20060101,20051220,C,L) A61K-31/5377

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(R,I,M,JP,20060101,20051220,C,L) A61P-31/00(R,I,M,JP,20060101,20051220,C,L) A61P-31/04

(R,I,M,JP,20060101,20051220,A,L) A61P-43/00(R,I,M,JP,20060101,20051220,A,L) A61P-43/00

(R,I,M,JP,20060101,20051220,C,L) C07D-263/00(R,I,M,JP,20060101,20051220,C,F) C07D-263/20

(R,I,M,JP,20060101,20051220,A,F) C07D-413/00(R,I,M,EP,20060101,20051008,C) C07D-413/10

(R,I,M,EP,20060101,20051008,A) C07D-413/12(R,I,M,EP,20060101,20051008,A) C07D-413/14

(R,I,M,EP,20060101,20051008,A)

## **United States**

**Publication No.** US 20020103186 A1 (Update 200253 E)

**Publication Date:** 20020801

**Oxazolidinone derivatives as antimicrobials disease**

**Assignee:** Mehta, Anita, Haryana, IN (MEHT-I)

Arora, Sudershan K., Haryana, IN (AROR-I)

Das, Biswajit, New Delhi, IN (DASB-I)

Ray, Abhijit, New Delhi, IN (RAYA-I)

Rudra, Sonali, New Delhi, IN (RUDR-I)

Rattan, Ashok, New Delhi, IN (RATT-I)

**Inventor:** Mehta, Anita, Haryana, IN

Arora, Sudershan K., Haryana, IN

Das, Biswajit, New Delhi, IN

Ray, Abhijit, New Delhi, IN

Rudra, Sonali, New Delhi, IN

Rattan, Ashok, New Delhi, IN

Agent: JAYADEEP R. DESHMUKH, ESQ., RANBAXY PHARMACEUTICALS INC., Suite 2100,  
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Language: EN

Application: US 2001906215 A 20010716 (Local application)

Priority: IN 2000DE654 A 20000717

Original IPC: A61K-31/551(A) A61K-31/454(B) A61K-31/496(B) C07D-413/02(B) C07D-413/14(B)

Current IPC: A61K-31/422(R,A,I,M,JP,20060101,20051220,A,L) A61K-31/422

(R,I,M,JP,20060101,20051220,C,L) A61K-31/4468(R,I,M,JP,20060101,20051220,A,L) A61K-31/4468

(R,I,M,JP,20060101,20051220,C,L) A61K-31/496(R,I,M,JP,20060101,20051220,A,L) A61K-31/496

(R,I,M,JP,20060101,20051220,C,L) A61K-31/5375(R,I,M,JP,20060101,20051220,C,L) A61K-31/5377

(R,I,M,JP,20060101,20051220,A,L) A61K-31/541(R,I,M,JP,20060101,20051220,A,L) A61K-31/541

(R,I,M,JP,20060101,20051220,C,L) A61P-31/00(R,I,M,JP,20060101,20051220,C,L) A61P-31/04

(R,I,M,JP,20060101,20051220,A,L) A61P-43/00(R,I,M,JP,20060101,20051220,A,L) A61P-43/00

(R,I,M,JP,20060101,20051220,C,L) C07D-263/00(R,I,M,JP,20060101,20051220,C,F) C07D-263/20

(R,I,M,JP,20060101,20051220,A,F) C07D-413/00(R,I,M,EP,20060101,20051008,C) C07D-413/10

(R,I,M,EP,20060101,20051008,A) C07D-413/12(R,I,M,EP,20060101,20051008,A) C07D-413/14

(R,I,M,EP,20060101,20051008,A)

Original US Class (secondary): 514218 514253.1 514254.02 540575 544360 544370 546209 514340

Original Abstract:

The present invention relates to certain substituted phenyl oxazolidinones and to processes for the synthesis of the same. This invention also relates to pharmaceutical compositions containing the compounds of the present invention as antimicrobials. The compounds are useful antimicrobial agents, effective number of human and veterinary pathogens, including gram-positive aerobic bacteria such as multiply-resistant staphylococci, streptococci and enterococci as well as anaerobic organisms such as *Bacterioides* spp. and *Clostridia* spp. species, and acid fast organisms such as *Mycobacterium tuberculosis*, *Mycobacterium avium* and *Mycobacterium* spp.

Claim:

1. 1. A compound having the structure of Formula I[C00029] and its pharmaceutically acceptable salts, enantiomers, diastereomers, N-oxides, prodrugs or metabolites, wherein
  - o T is five to seven membered heterocyclic ring, aryl, substituted aryl, bound to the ring C with a linker w and the heterocyclic and aryl rings are further substituted by a group represented by R,
  - o wherein R is selected from the group consisting of --CN, COR<sub>5</sub>, COOR<sub>5</sub>, N(R<sub>6</sub>, R<sub>7</sub>), CON(R<sub>6</sub>, R<sub>7</sub>), CH<sub>2</sub>NO<sub>2</sub>, NO<sub>2</sub>, CH<sub>2</sub>R<sub>8</sub>, CHR<sub>9</sub>, --CHLN--OR<sub>10</sub>, --CLCH--R<sub>5</sub>, wherein R<sub>5</sub> is selected from the group consisting of H, optionally substituted C<sub>1</sub>-C<sub>12</sub>, alkyl, C<sub>3-12</sub>, cycloalkyl, aryl, heteroaryl; R<sub>6</sub> and R<sub>7</sub> are independently selected from the group consisting of H, optionally substituted C<sub>1-12</sub> alkyl, C<sub>3-12</sub> cycloalkyl, C<sub>1-6</sub> alkoxy; R<sub>8</sub> and R<sub>9</sub> are independently selected from the group consisting of H, C<sub>1-6</sub> alkyl, F, Cl, Br, C<sub>1-12</sub> alkyl substituted with one or more of F, Cl, Br, I, OR<sub>4</sub>, SR<sub>4</sub>, N(R<sub>6</sub>, R<sub>7</sub>) wherein R<sub>4</sub> is selected from the group consisting of H, C<sub>1-12</sub> alkyl, C<sub>3-12</sub> cycloalkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkyl substituted with one or more F, Cl, Br, I or OH and R<sub>6</sub> and R<sub>7</sub> are the same as defined earlier, R<sub>10</sub> is selected from the group consisting of H, optionally substituted from H, optionally substituted C<sub>1-12</sub> alkyl, C<sub>3-12</sub> cycloalkyl, C<sub>1-6</sub>, alkoxy, C<sub>1-6</sub> alkyl, aryl,

heteroaryl;

- n is an integer in the range from 0 to 3;
- X is CH, CH--S, CH--O and N
- Y and Z are independently selected from the group consisting of hydrogen, C<sub>1-6</sub> alkyl, C<sub>3-12</sub> cycloalkyl, C<sub>0-3</sub> bridging group;
- U and V are independently selected from the group consisting of optionally substituted C<sub>1-6</sub> alkyl, F, Cl, Br, C<sub>1-12</sub> alkyl substituted with one or more of F, Cl, Br, I, preferably U and V are hydrogen or fluoro;
- W is selected from the group consisting of CH<sub>2</sub>, CO, CH<sub>2</sub>NH, --NHCH<sub>2</sub>, --CH<sub>2</sub>NHCH<sub>2</sub>, --CH<sub>2</sub>--N(R<sub>11</sub>)CH<sub>2</sub>--, CH<sub>2</sub>(R<sub>11</sub>)N--, CH(R<sub>11</sub>), S, CH<sub>2</sub>(CO), NH wherein R<sub>11</sub> is optionally substituted with C<sub>1-12</sub> alkyl, C<sub>3-12</sub> cycloalkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkyl, aryl, heteroaryl,
- R<sub>1</sub> is selected from the group consisting of --NHC(LO)R<sub>2</sub> wherein R<sub>2</sub> is hydrogen, C<sub>1-12</sub> alkyl, C<sub>3-12</sub> cycloalkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkyl substituted with one or more of F, Cl, Br, I or OH; N(R<sub>3</sub>, R<sub>4</sub>); --NR<sub>2</sub>C(LS)R<sub>3</sub>; --NR<sub>2</sub>C(LS)SR<sub>3</sub> wherein R<sub>2</sub> is the same as defined above and R<sub>3</sub> and R<sub>4</sub> are independently selected from the group consisting of H, C<sub>1-12</sub> alkyl, C<sub>3-12</sub> cycloalkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkyl substituted with one or more of F, Cl, Br, I or OH.

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**Publication Date:** 20040511

**Oxazolidinone derivatives as antimicrobials**

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**Language:** EN

**Application:** US 2001906215 A 20010716 (Local application)

**Priority:** IN 2000DE654 A 20000717

**Original IPC:** C07D-413/14(A)

**Current IPC:** A61K-31/422(R,A,I,M,JP,20060101,20051220,A,L) A61K-31/422

(R,I,M,JP,20060101,20051220,C,L) A61K-31/4468(R,I,M,JP,20060101,20051220,A,L) A61K-31/4468

(R,I,M,JP,20060101,20051220,C,L) A61K-31/496(R,I,M,JP,20060101,20051220,A,L) A61K-31/496

(R,I,M,JP,20060101,20051220,C,L) A61K-31/5375(R,I,M,JP,20060101,20051220,C,L) A61K-31/5377

(R,I,M,JP,20060101,20051220,A,L) A61K-31/541(R,I,M,JP,20060101,20051220,A,L) A61K-31/541

(R,I,M,JP,20060101,20051220,C,L) A61P-31/00(R,I,M,JP,20060101,20051220,C,L) A61P-31/04

(R,I,M,JP,20060101,20051220,A,L) A61P-43/00(R,I,M,JP,20060101,20051220,A,L) A61P-43/00

(R,I,M,JP,20060101,20051220,C,L) C07D-263/00(R,I,M,JP,20060101,20051220,C,F) C07D-263/20

(R,I,M,JP,20060101,20051220,A,F) C07D-413/00(R,I,M,EP,20060101,20051008,C) C07D-413/10

(R,I,M,EP,20060101,20051008,A) C07D-413/12(R,I,M,EP,20060101,20051008,A) C07D-413/14

(R,I,M,EP,20060101,20051008,A)

Original US Class (secondary): 544369

Original Abstract:

The present invention relates to certain substituted phenyl oxazolidinones and to processes for the synthesis of the same. This invention also relates to pharmaceutical compositions containing the compounds of the present invention as antimicrobials. The compounds are useful antimicrobial agents, effective against a number of human and veterinary pathogens, including gram-positive aerobic bacteria such as multiply-resistant staphylococci, streptococci and enterococci as well as anaerobic organisms such as *Bacterioides* spp. and *Clostridia* spp. species, and acid fast organisms such as *Mycobacterium tuberculosis*, *Mycobacterium avium* and *Mycobacterium* spp.

Claim:

What is claimed is:

1. A process for preparing a compound of Formula XI[C00029](R<sub>16</sub>L-CH<sub>2</sub>F or --CHF<sub>2</sub>) by reacting a compound of Formula IX[C00030] with sodium borohydride to produce a compound of Formula X[C00031] and further reacting this compound with diethylamino sulfurtrifluoride to produce compound of Formula XI.

## WIPO

Publication No. WO 2002006278 A1 (Update 200227 B)

Publication Date: 20020124

### OXAZOLIDINONE DERIVATIVES AS ANTIMICROBIALS

#### DERIVES D'OXAZOLIDINONE UTILISES EN TANT QU'ANTIMICROBIENS

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Language: EN (126 pages, 0 drawings)

Application: WO 2001IB1262 A 20010716 (Local application)

Priority: IN 2000DE654 A 20000717

Designated States: (National Original) AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW

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(R,I,M,JP,20060101,20051220,C,L) A61K-31/4468(R,I,M,JP,20060101,20051220,A,L) A61K-31/4468

(R,I,M,JP,20060101,20051220,C,L) A61K-31/496(R,I,M,JP,20060101,20051220,A,L) A61K-31/496

(R,I,M,JP,20060101,20051220,C,L) A61K-31/5375(R,I,M,JP,20060101,20051220,C,L) A61K-31/5377

(R,I,M,JP,20060101,20051220,A,L) A61K-31/541(R,I,M,JP,20060101,20051220,A,L) A61K-31/541

(R,I,M,JP,20060101,20051220,C,L) A61P-31/00(R,I,M,JP,20060101,20051220,C,L) A61P-31/04

(R,I,M,JP,20060101,20051220,A,L) A61P-43/00(R,I,M,JP,20060101,20051220,A,L) A61P-43/00

(R,I,M,JP,20060101,20051220,C,L) C07D-263/00(R,I,M,JP,20060101,20051220,C,F) C07D-263/20

(R,I,M,JP,20060101,20051220,A,F) C07D-413/00(R,I,M,EP,20060101,20051008,C) C07D-413/10

(R,I,M,EP,20060101,20051008,A) C07D-413/12(R,I,M,EP,20060101,20051008,A) C07D-413/14

(R,I,M,EP,20060101,20051008,A)

Original Abstract:

The present invention relates to certain substituted phenyl oxazolidinones and to processes for the synthesis of the same. This invention also relates to pharma-ceutical compositions containing the compounds of the present invention as anti-microbials. The compounds are useful antimicrobial agents, effective against a number of human and veterinary pathogens, including gram-positive aerobic bacteria such as multiply-resistant staphylococci, streptococci and enterococci as well as anaerobic organisms such as Bacterioides spp. and Clostridia spp. species, and acid fast organisms such as Mycobacterium tuberculosis, Mycobacterium avium and Mycobacterium spp.

L'invention concerne certaines oxazolidinones de phenyle substituees et leur procede de synthese. Cette invention concerne egalement des compositions pharmaceutiques contenant les composees en tant qu'antimicrobiens de l'invention. Les composees sont des agents antimicrobiens utiles, efficaces contre un certain nombre de pathogenes humains et veterinaires, y compris des bacteries aerobies gram-positive tels que les staphylococci, streptococci et enterococci polyresistants, de meme que des organismes anaerobies tels que des especes bacterioides spp. et clostridie spp., et contre des organismes acido-resistants tels que mycobacterium tuberculosis, mycobacterium avium et mycobacterium spp.

## South Africa

Publication No. ZA 200300471 A (Update 200420 E)

Publication Date: 20040128

Assignee: RANBAXY LAB LTD (RANB-N)

Inventor: MEHTA A

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RUDRA S  
RATTAN A

Language: EN (151 pages)

Application: ZA 2003471 A 20030117 (Local application)

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(R,I,M,JP,20060101,20051220,C,L) A61K-31/4468(R,I,M,JP,20060101,20051220,A,L) A61K-31/4468

(R,I,M,JP,20060101,20051220,C,L) A61K-31/496(R,I,M,JP,20060101,20051220,A,L) A61K-31/496

(R,I,M,JP,20060101,20051220,C,L) A61K-31/5375(R,I,M,JP,20060101,20051220,C,L) A61K-31/5377

(R,I,M,JP,20060101,20051220,A,L) A61K-31/541(R,I,M,JP,20060101,20051220,A,L) A61K-31/541

(R,I,M,JP,20060101,20051220,C,L) A61P-31/00(R,I,M,JP,20060101,20051220,C,L) A61P-31/04

(R,I,M,JP,20060101,20051220,A,L) A61P-43/00(R,I,M,JP,20060101,20051220,A,L) A61P-43/00

(R,I,M,JP,20060101,20051220,C,L) C07D-263/00(R,I,M,JP,20060101,20051220,C,F) C07D-263/20

(R,I,M,JP,20060101,20051220,A,F) C07D-413/00(R,I,M,EP,20060101,20051008,C) C07D-413/10

(R,I,M,EP,20060101,20051008,A) C07D-413/12(R,I,M,EP,20060101,20051008,A) C07D-413/14

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